

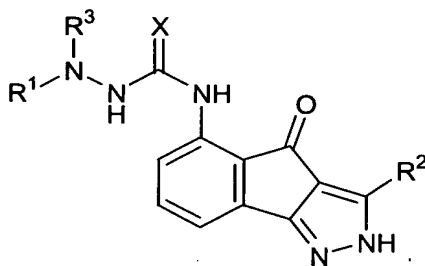
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CLAIMS

What is claimed is:

1. A compound according to formula (I):

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(I)

X is selected from O or S;

15 R¹ is selected from the groups: C₃-C₁₀ membered carbocycle substituted with 0-5 R⁴, and 3-10 membered heterocycle substituted with 0-5 R⁵, provided that if R¹ is phenyl then R¹ is substituted with 1-5 R⁴;

R² is selected from the groups: H, C₁-10 alkyl

20 substituted with 0-3 R⁶, C₂-10 alkenyl substituted with 0-3 R⁶, C₂-10 alkynyl substituted with 0-3 R⁶, - (CF₂)_mCF₃, C₃-10 membered carbocycle substituted with 0-5 R⁴, and 3-10 membered heterocycle containing from 1-4 heteroatoms selected from O, N, and S and substituted

25 with 0-5 R⁵;

R³ is selected from the groups: H, C₁-4 alkyl, C₃-6 cycloalkyl, or C₄-10 cycloalkylalkyl;

- 5 R^4 is independently selected from the groups: halo, -CN, NO_2 , C_{1-4} alkyl, C_{1-4} haloalkyl, NR^7R^{7a} , =O, OR^7 , COR^7 , CO_2R^7 , $CONR^7R^{7a}$, $NHC(O)NR^7R^{7a}$, $NHC(S)NR^7R^{7a}$, $NR^7C(O)OR^{7b}$, $NR^7C(O)R^{7b}$, $SO_2NR^7R^{7a}$, SO_2R^{7b} , and 5-10 membered heterocycle containing from 1-4 heteroatoms selected from
- 10 O, N, and S;
alternatively, when two R^4 's are present on adjacent carbon atoms they combine to form $-OCH_2O-$ or $-OCH_2CH_2O-$;
- R^5 is independently selected from the groups: halo, -CN, NO_2 , C_{1-4} alkyl, C_{1-4} haloalkyl, NR^7R^{7a} , $NR^7C(O)OR^{7b}$,
- 15 $NR^7C(O)R^{7b}$, OR^7 , COR^7 , CO_2R^7 , $CONR^7R^{7a}$, $CON(R^9)[(CH_2)_mR^{10}]$, $CO(CH_2)_mR^{10}$, $NHC(O)NR^7R^{7a}$, $NHC(S)NR^7R^{7a}$, $SO_2NR^7R^{7a}$, and SO_2R^{7b} ;
- R^6 is independently selected from the groups: halo, -CN, NO_2 , C_{1-4} alkyl, C_{1-4} haloalkyl, NR^7R^{7a} , $NR^8NR^8R^{8a}$,
- 20 $NR^7C(O)OR^7$, $NR^7C(O)R^{7b}$, =O, OR^7 , COR^7 , CO_2R^7 , $CONR^7R^{7a}$, $NHC(O)NR^7R^{7a}$, $NHC(S)NR^7R^{7a}$, $SO_2NR^7R^{7a}$, SO_2R^{7b} , C_{3-10} membered carbocycle substituted with 0-5 R^4 , and 5-10 membered heterocycle containing from 1-4 heteroatoms selected from O, N, and S, substituted with 0-3 R^7 ;
- 25 R^7 is independently selected from the groups: H, halo, -CN, NO_2 , C_{1-4} haloalkyl, $R^8R^{8a}N(CR^9R^{9a})_m$, $NR^8NR^8R^{8a}$, $NR^8C(O)OR^8$, $NR^8C(O)R^8$, =O, $R^8O(CR^9R^{9a})_m$, COR^8 , CO_2R^8 , $CONR^8R^{8a}$, $NHC(O)NR^8R^{8a}$, $NHC(S)NR^8R^{8a}$, $SO_2NR^8R^{8a}$, SO_2R^{8b} , C_{1-4} alkyl, C_{3-6} cycloalkyl, C_{4-10} cycloalkylalkyl, phenyl,
- 30 and benzyl;

- 5 R^{7a} is independently selected from the groups: H, C₁₋₄ alkyl, C₃₋₆ cycloalkyl, C₄₋₁₀ cycloalkylalkyl, phenyl, and benzyl;
- alternatively, R^7 and R^{7a} , together with the atoms to which they are attached, form a heterocycle having 4-8
- 10 atoms in the ring and containing an additional 0-1 N, S, or O atom and substituted with 0-3 R^{7c} ;
- R^{7b} is independently selected from the groups: H, C₁₋₄ alkyl, C₃₋₆ cycloalkyl, C₄₋₁₀ cycloalkylalkyl, phenyl, and benzyl;
- 15 R^{7c} is independently selected from the groups: halo, -CN, N_3 , NO_2 , C₁₋₄ alkyl, C₃₋₆ cycloalkyl, C₄₋₁₀ cycloalkylalkyl, C₁₋₄ haloalkyl, NR^7R^{7b} , $R^8R^{8a}N(CR^9R^{9a})_m$, $=O$, OR^7 , $R^8O(CR^9R^{9a})_m$, COR^7 , CO_2R^7 , $CONR^7R^{7b}$, $NHC(O)NR^7R^{7b}$, $NHC(S)NR^7R^{7b}$, $NR^7C(O)OR^{7b}$, $NR^7C(O)R^{7b}$,
- 20 $C(=NR^8)R^{8a}$, $C(=NR^8)NR^{8a}R^{8b}$, $SO_2NR^7R^{7b}$, SO_2R^{7b} , and 5-10 membered heterocycle containing from 1-4 heteroatoms selected from O, N, and S;
- R^8 is independently selected from the groups: H, C₁₋₄ alkyl, C₃₋₆ cycloalkyl, C₄₋₁₀ cycloalkylalkyl, phenyl and
- 25 benzyl;
- R^{8a} is independently selected from the groups: H, C₁₋₄ alkyl, C₃₋₆ cycloalkyl, C₄₋₁₀ cycloalkylalkyl, phenyl and benzyl;
- alternatively, R^8 and R^{8a} , together with the atoms to
- 30 which they are attached, form a heterocycle having 4-8 atoms in the ring and containing an additional 0-1 N, S, or O atom;

5 R^{8b} is independently selected from the groups: H, C₁₋₄ alkyl, C₃₋₆ cycloalkyl, C₄₋₁₀ cycloalkylalkyl, phenyl and benzyl;

R⁹ is independently selected from the groups: H, C₁₋₄ alkyl;

10 R^{9a} is independently selected from the groups: H, C₁₋₄ alkyl;

R¹⁰ is independently selected from the groups: NR⁷R^{7a}, C₃₋₁₀ membered carbocycle substituted with 0-3 R⁷, and 5-10 membered heterocycle containing from 1-4 heteroatoms

15 selected from O, N, and S, substituted with 0-3 R⁷; and m is independently selected from 0, 1, 2, 3, and 4; or a pharmaceutically acceptable salt thereof, a pharmaceutically acceptable prodrug form thereof, an N-oxide form thereof, or a stereoisomer thereof.

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2. A compound according to claim 1, wherein:

X is O;

R¹ is selected from the groups: C₅₋₆ membered carbocycle substituted with 0-5 R⁴, and 5-6 membered heterocycle

25 substituted with 0-5 R⁵.

3. A compound according to claim 1, wherein:

X is O;

R¹ is a C₅₋₆ membered carbocycle substituted with 0-5

30 R⁴, wherein the carbocycle is an aryl, cycloalkyl, or cycloalkenyl group.

4. A compound according to claim 1, wherein:

X is O;

R¹ is phenyl substituted with 0-5 R⁴.

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5. A compound according to claim 1, wherein:

X is O;

R¹ is a C₅-C₆ membered cycloalkyl group substituted with
0-5 R⁴, wherein the cycloalkyl is cyclohexyl,
10 cyclopentyl.

6. A compound according to claim 1, wherein:

X is O;

R¹ is a C₅-C₆ membered cycloalkenyl group substituted
15 with 0-5 R⁴, wherein the cycloalkenyl group is
cyclohexenyl, cyclopentenyl.

7. A compound according to claim 1, wherein:

X is O;

20 R¹ is a C₅-C₇ membered heterocycle substituted with 0-5
R⁵, wherein the heterocycle is a
heteroaryl, heterocyclenyl, or heterocyclyl group.

8. A compound according to claim 1, wherein:

25 X is O;

R¹ is a C₅-C₆ membered heteroaryl substituted with 0-5
R⁵, wherein the heteroaryl is pyrazinyl, thienyl,
isothiazolyl, oxazolyl, pyrazolyl, furazanyl, pyrrolyl,
1,2,4-thiadiazolyl, pyridazinyl, quinoxalinyl,
30 phthalazinyl, imidazo[1,2-a]pyridine, imidazo[2,1-
b]thiazolyl, benzofurazanyl, azaindolyl, benzimidazolyl,
benzothienyl, thienopyridyl, thienopyrimidyl,
pyrrolopyridyl, imidazopyridyl, benzoazaindole,
1,2,4-triazinyl, benzthiazolyl, furanyl, imidazolyl,
35 indolyl, indolizinyll, isoxazolyl, isoquinolinyl,

5 isothiazolyl, oxadiazolyl, pyrazinyl, pyridazinyl, pyrazolyl, pyridyl, pyrimidinyl, pyrrolyl, quinazolinyl, quinolinyl, 1,3,4-thiadiazolyl, thiazolyl, thienyl or triazolyl.

10 9. A compound according to claim 1, wherein:

X is O;

R¹ is a C₅-C₆ membered heteroaryl substituted with 0-5

R⁵, wherein the heteroaryl is pyrazinyl, pyridazinyl, pyridyl, pyrimidinyl, thiazolyl or thienyl.

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10. A compound according to claim 1, wherein:

X is O;

R¹ is a C₅-C₆ membered heterocyclyl substituted with 0-5

R⁵, wherein the heterocyclyl is tetrahydropyranyl,

20 pyrrolidinyl, imidazolidinyl, pyrazolidinyl, piperidinyl, morpholinyl, thiomorpholinyl, or piperazinyl.

11. A compound according to claim 1, wherein:

X is O;

25 R¹ is a C₅-C₆ membered heterocyclyl substituted with 0-5

R⁵, wherein the heterocyclyl is tetrahydropyranyl or morpholinyl.

12. A compound according to claim 1, wherein:

30 X is O;

R¹ is a C₅-C₆ membered heterocyclenyl group substituted

with 0-5 R⁵, wherein the heterocyclenyl group is 1,2,3,4-tetrahydrohydropyridine, 1,2-dihydropyridyl,

1,4-dihydropyridyl, 1,2,3,6-tetrahydrohydropyridine, 1,4,5,6-

35 tetrahydropyrimidine, 2-pyrrolinyl, 3-pyrrolinyl, 2-

5 imidazoliny1, 2-pyrazoliny1, 3,4-dihydro-2H-pyran, or dihydrofurany1.

13. A compound according to claim 1, wherein:
X is O;

10 R³ is selected from the groups: H, C₁₋₄ alkyl.

14. A compound according to claim 1, wherein:
X is O;
R³ is methyl.

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15. A compound according to claim 1, wherein:
X is O;
R² is a C₃₋₁₀ membered carbocycle substituted with 0-5
R⁴, or a 3-10 membered heterocycle containing from 1-4
20 heteroatoms selected from O, N, and S and substituted
with 0-5 R⁵.

16. A compound according to claim 1, wherein:
X is O;

25 R² is C_{5-C6} membered carbocycle substituted with 0-5 R⁴,
wherein the carbocycle is an aryl, cycloalkyl, or
cycloalkenyl group.

17. A compound according to claim 1, wherein:
30 X is O;

R² is phenyl substituted with 0-5 R⁴.

18. A compound according to claim 1, wherein:
X is O;

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- 5 R^2 is cycloalkyl substituted with 0-5 R^4 , a C₅-C₆ membered cycloalkyl group substituted with 0-5 R^4 , wherein the cycloalkyl is cyclohexyl, cyclopentyl.

19. A compound according to claim 1, wherein:

10 X is O;

R^2 is a C₅-C₆ membered cycloalkenyl group substituted with 0-5 R^4 , wherein the cycloalkenyl group is cyclohexenyl, cyclopentenyl.

15 20. A compound according to claim 1, wherein:

X is O;

R^2 is a C₅-C₇ membered heterocycle substituted with 0-5 R^5 , wherein the heterocycle is a heteroaryl, heterocyclenyl, or heterocyclyl group.

20

21. A compound according to claim 1, wherein:

X is O;

R^2 is a C₅-C₆ membered heteroaryl substituted with 0-5 R^5 , wherein the heteroaryl is pyrazinyl, thienyl,

- 25 isothiazolyl, oxazolyl, pyrazolyl, furazanyl, pyrrolyl, 1,2,4-thiadiazolyl, pyridazinyl, quinoxaliny, phthalazinyl, imidazo[1,2-a]pyridine, imidazo[2,1-b]thiazolyl, benzofurazanyl, azaindolyl, benzimidazolyl, benzothienyl, thienopyridyl, thienopyrimidyl,
- 30 pyrrolopyridyl, imidazopyridyl, benzoazaindole, 1,2,4-triazinyl, benzthiazolyl, furanyl, imidazolyl, indolyl, indoliziny, isoxazolyl, isoquinoliny, isothiazolyl, oxadiazolyl, pyrazinyl, pyridazinyl, pyrazolyl, pyridyl, pyrimidinyl, pyrrolyl, quinazolinyl,

- 5 quinolinyl, 1,3,4-thiadiazolyl, thiazolyl, thienyl or triazolyl.

22. A compound according to claim 1, wherein:
X is O;

- 10 R^2 is a C₅-C₆ membered heteroaryl substituted with 0-5 R^5 , wherein the heteroaryl is pyrazinyl, pyridazinyl, pyridyl, pyrimidinyl, thiazolyl or thienyl.

23. A compound according to claim 1, wherein:

- 15 X is O;

R^2 is a C₅-C₆ membered heterocyclyl substituted with 0-5 R^5 , wherein the heterocyclyl is tetrahydropyranyl, pyrrolidinyl, imidazolidinyl, pyrazolidinyl, piperidinyl, morpholinyl, thiomorpholinyl, or piperazinyl.

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24. A compound according to claim 1, wherein:

X is O;

- R^2 is a C₅-C₆ membered heterocyclenyl group substituted with 0-5 R^5 , wherein the heterocyclenyl group is 1,2,3,4-tetrahydrohydropyridine, 1,2-dihydropyridyl, 1,4-dihydropyridyl, 1,2,3,6-tetrahydropyridine, 1,4,5,6-tetrahydropyrimidine, 2-pyrrolinyl, 3-pyrrolinyl, 2-imidazolinyl, 2-pyrazolinyl, 3,4-dihydro-2H-pyran, or dihydrofuranyl.

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25. A compound according to claim 1, wherein:

X is O;

R^2 is phenyl substituted with 1-5 R^4 .

- 35 26. A compound according to claim 1, wherein:

5 X is O;

R² is phenyl substituted with 1-4 R⁴.

27. A compound according to claim 1, wherein:

X is O;

10 R² is phenyl substituted with 1-3 R⁴.

28. A compound according to claim 1, wherein:

X is O;

R² is phenyl substituted with 1-2 R⁴.

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29. A compound according to claim 1, wherein:

X is O;

R² is phenyl substituted with R⁴;

R⁴ is a 5-10 membered heterocycle containing from 1-4

20 heteroatoms selected from O, N, and S, wherein the heterocycle is a heteroaryl, heterocyclenyl, or heterocyclyl group.

30. A compound according to claim 1, wherein:

25 X is O;

R² is phenyl substituted with R⁴;

R⁴ is a 5-6 membered heteroaryl containing from 1-4 heteroatoms selected from O, N, and S, which is substituted with 0-5 R⁵.

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31. A compound according to claim 1, wherein:

X is O;

R² is phenyl substituted with R⁴;

R⁴ is NR⁷R^{7a}.

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32. A compound according to claim 1, wherein:

X is O;

R^2 is phenyl substituted with R^4 ;

R^4 is NR^7R^{7a} ;

- 10 R^7 and R^{7a} , together with the atoms to which they are attached, form a heterocycle having 4-8 atoms in the ring and containing an additional 0-1 N, S, or O atom and substituted with 0-3 R^{7c} ; and

- R^{7c} is independently selected from the groups: halo, -CN
 15 , N_3 , NO_2 , C1-4 alkyl, C3-6 cycloalkyl, C4-10 cycloalkylalkyl, C1-4 haloalkyl, NR^7R^{7b} , $R^8R^{8a}N(CR^9R^{9a})_m$, =O, OR^7 , $R^8O(CR^9R^{9a})_m$, COR^7 , CO_2R^7 , $CONR^7R^{7b}$, $NHC(O)NR^7R^{7b}$, $NHC(S)NR^7R^{7b}$, $NR^7C(O)OR^{7b}$, $NR^7C(O)R^{7b}$, $C(=NR^8)R^{8a}$, $C(=NR^8)NR^{8a}R^{8b}$, $SO_2NR^7R^{7b}$, SO_2R^{7b} , and 5-10
 20 membered heterocycle containing from 1-4 heteroatoms selected from O, N, and S.

33. A compound according to claim 1, wherein:

X is O;

- 25 R^2 is phenyl substituted with R^4 ;

R^4 is NR^7R^{7a} ;

- R^7 and R^{7a} , together with the atoms to which they are attached, form a heterocycle having 6-7 atoms in the ring and containing an additional 0-1 N atoms and substituted
 30 with 0-3 R^{7c} ; and

R^{7c} is independently selected from the groups: halo, -CN
 , N_3 , NO_2 , C1-4 alkyl, C3-6 cycloalkyl, C4-10 cycloalkylalkyl, C1-4 haloalkyl, NR^7R^{7b} , $R^8R^{8a}N(CR^9R^{9a})_m$,

- 5 =O, OR⁷, R⁸O(CR⁹R^{9a})_m, COR⁷, CO₂R⁷, CONR⁷R^{7b},
 NHC(O)NR⁷R^{7b}, NHC(S)NR⁷R^{7b}, NR⁷C(O)OR^{7b}, NR⁷C(O)R^{7b},
 C(=NR⁸)R^{8a}, C(=NR⁸)NR^{8a}R^{8b}, SO₂NR⁷R^{7b}, SO₂R^{7b}, and 5-10
 membered heterocycle containing from 1-4 heteroatoms
 selected from O, N, and S.

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34. A compound according to claim 1, wherein:

X is O;

R² is phenyl substituted with R⁴;

R⁴ is NR⁷R^{7a};

- 15 R⁷ and R^{7a}, together with the atoms to which they are
 attached, form a 6-7 membered heterocyclyl group or a 6-7
 membered heterocyclenyl group, substituted with 0-3 R^{7c};
 and

- R^{7c} is independently selected from the groups: halo, -CN
 20 , N₃, NO₂, C₁₋₄ alkyl, C₃₋₆ cycloalkyl, C₄₋₁₀
 cycloalkylalkyl, C₁₋₄ haloalkyl, NR⁷R^{7b}, R⁸R^{8a}N(CR⁹R^{9a})_m,
 =O, OR⁷, R⁸O(CR⁹R^{9a})_m, COR⁷, CO₂R⁷, CONR⁷R^{7b},
 NHC(O)NR⁷R^{7b}, NHC(S)NR⁷R^{7b}, NR⁷C(O)OR^{7b}, NR⁷C(O)R^{7b},
 C(=NR⁸)R^{8a}, C(=NR⁸)NR^{8a}R^{8b}, SO₂NR⁷R^{7b}, SO₂R^{7b}, and 5-10
 25 membered heterocycle containing from 1-4 heteroatoms
 selected from O, N, and S.

35. A compound according to claim 1, wherein:

X is O;

- 30 R² is phenyl substituted with R⁴;

R⁴ is NR⁷R^{7a};

R⁷ and R^{7a}, together with the atoms to which they are
 attached, form a 6-7 membered heterocyclyl group

- 5 substituted with 0-3 R^{7c} , wherein the heterocyclyl group is piperazinyl, or homopiperazinyl, and R^{7c} is independently selected from the groups: halo, -CN, N_3 , NO_2 , C_{1-4} alkyl, C_{3-6} cycloalkyl, C_{4-10} cycloalkylalkyl, C_{1-4} haloalkyl, $NR^{7R^{7b}}$, $R^{8R^{8a}}N(CR^{9R^{9a}})_m$,
 10 $=O$, OR^7 , $R^{8O}(CR^{9R^{9a}})_m$, COR^7 , CO_2R^7 , $CONR^7R^{7b}$, $NHC(O)NR^{7R^{7b}}$, $NHC(S)NR^{7R^{7b}}$, $NR^7C(O)OR^{7b}$, $NR^7C(O)R^{7b}$, $C(=NR^8)R^{8a}$, $C(=NR^8)NR^{8a}R^{8b}$, $SO_2NR^{7R^{7b}}$, SO_2R^{7b} , and 5-10 membered heterocycle containing from 1-4 heteroatoms selected from O, N, and S.
- 15 36. A compound according to claim 1, wherein:
 X is O;
 R^2 is phenyl substituted with R^4 ;
 R^4 is $NR^{7R^{7a}}$;
- 20 R^7 and R^{7a} , together with the atoms to which they are attached, form a 6-7 membered heterocyclenyl group substituted with 0-3 R^{7c} , wherein the heterocyclenyl group is ,2,3,4- tetrahydrohydropyridine, 1,2-dihydropyridyl, 1,4-dihydropyridyl,
 25 1,2,3,6-tetrahydropyridine, or 1,4,5,6-tetrahydropyrimidine; and
 R^{7c} is independently selected from the groups: halo, -CN, N_3 , NO_2 , C_{1-4} alkyl, C_{3-6} cycloalkyl, C_{4-10} cycloalkylalkyl, C_{1-4} haloalkyl, $NR^{7R^{7b}}$, $R^{8R^{8a}}N(CR^{9R^{9a}})_m$,
 30 $=O$, OR^7 , $R^{8O}(CR^{9R^{9a}})_m$, COR^7 , CO_2R^7 , $CONR^7R^{7b}$, $NHC(O)NR^{7R^{7b}}$, $NHC(S)NR^{7R^{7b}}$, $NR^7C(O)OR^{7b}$, $NR^7C(O)R^{7b}$, $C(=NR^8)R^{8a}$, $C(=NR^8)NR^{8a}R^{8b}$, $SO_2NR^{7R^{7b}}$, SO_2R^{7b} , and 5-10

5 membered heterocycle containing from 1-4 heteroatoms
selected from O, N, and S.

37. A compound according to claim 1, wherein:

10 R^{7c} is independently selected from the groups: C_{1-4}
alkyl, C_{3-6} cycloalkyl, C_{4-10} cycloalkylalkyl, NR^7R^{7b} ,
and 5-10 membered heterocycle containing from 1-4
heteroatoms selected from O, N, and S.

15 38. A compound according to claim 1, wherein the
compound is selected from:

3-(4-piperazinophenyl)-5-((N-methyl- N-(2-
pyridinyl) amino) carbamoylamino) indeno[1,2-c]pyrazol-4-
one;

20 3-(4-(4-methylpiperazino)phenyl)-5-((N-methyl- N-(2-
pyridinyl) amino) carbamoylamino) indeno[1,2-c]pyrazol-4-
one;

25 3-(4-homopiperazinophenyl)-5-((N-methyl- N-(2-
pyridinyl) amino) carbamoylamino) indeno[1,2-c]pyrazol-4-
one;

30 3-(4-(4-methylhomopiperazino)phenyl)-5-((N-methyl- N-(2-
pyridinyl) amino) carbamoylamino) indeno[1,2-c]pyrazol-4-
one;

35 3-(4-piperazinophenyl)-5-((N-methyl-N-(4-
pyridinyl) amino) carbamoylamino) indeno[1,2-c]pyrazol-4-
one;

5 3-(4-piperazinophenyl)-5-((N-methyl-N-(2-pyrazinyl)amino) carbamoylamino) indeno[1,2-c]pyrazol-4-one;

10 3-(4-piperazinophenyl)-5-((N-methyl-N-(2-pyrimidinyl)amino) carbamoylamino) indeno[1,2-c]pyrazol-4-one;

15 3-(4-piperazinophenyl)-5-((N-methyl-N-(2-thiazolyl)amino) carbamoylamino) indeno[1,2-c]pyrazol-4-one;

20 3-(4-piperazinophenyl)-5-((N-methyl-N-(3-pyridinyl)amino) carbamoylamino) indeno[1,2-c]pyrazol-4-one;

25 3-(4-(4-methylpiperazino)phenyl)-5-((N-methyl-N-(2-pyrazinyl)amino) carbamoylamino) indeno[1,2-c]pyrazol-4-one;

30 3-(4-(4-methylpiperazino)phenyl)-5-((N-methyl-N-(2-thiazolyl)amino) carbamoylamino) indeno[1,2-c]pyrazol-4-one;

35 3-(4-(4-methylpiperazino)phenyl)-5-((N-methyl-N-(3-pyridinyl)amino) carbamoylamino) indeno[1,2-c]pyrazol-4-one;

3-(4-piperazinophenyl)-5-((N-methyl-N-(4-tetrahydropyranyl)amino) carbamoylamino) indeno[1,2-c]pyrazol-4-one;

5 3-(4-(4-methylpiperazino)phenyl)-5-((N-methyl-N-(4-tetrahydropyranyl)amino)carbamoylamino)-indeno[1,2-c]pyrazol-4-one;

10 3-(4-(4-ethylpiperazino)phenyl)-5-((N-methyl-N-(4-tetrahydropyranyl)amino)carbamoylamino)indeno[1,2-c]pyrazol-4-one;

15 3-(4-(4-isopropylpiperazino)phenyl)-5-((N-methyl-N-(4-tetrahydropyranyl)amino)carbamoylamino)-indeno[1,2-c]pyrazol-4-one;

20 3-(4-(4-piperazinophenyl)-5-((N-methyl-N-cyclohexylamino)carbamoylamino)-indeno[1,2-c]pyrazol-4-one;

3-(4-(4-methylpiperazino)phenyl)-5-((N-methyl-N-cyclohexylamino)carbamoylamino)-indeno[1,2-c]pyrazol-4-one;

25 3-(4-(4-ethylpiperazino)phenyl)-5-((N-methyl-N-cyclohexylamino)carbamoylamino)indeno[1,2-c]pyrazol-4-one;

30 3-(4-(4-isopropylpiperazino)phenyl)-5-((N-methyl-N-cyclohexylamino)carbamoylamino)-indeno[1,2-c]pyrazol-4-one;

35 3-(4-piperazinophenyl)-5-((N-methyl-N-(1-methylpiperidin-4-yl)amino)carbamoylamino)indeno[1,2-c]pyrazol-4-one;

5 3-(4-homopiperazinophenyl)-5-((N-methyl-N-(4-tetrahydropyranyl)amino)carbamoylamino)indeno[1,2-c]pyrazol-4-one;

10 3-(4-(4-methylhomopiperazino)phenyl)-5-((N-methyl-N-(4-tetrahydropyranyl)amino)carbamoylamino)-indeno[1,2-c]pyrazol-4-one;

15 3-(4-(4-ethylhomopiperazino)phenyl)-5-((N-methyl-N-(4-tetrahydropyranyl)amino)carbamoylamino)-indeno[1,2-c]pyrazol-4-one;

20 3-(4-(4-isopropylhomopiperazino)phenyl)-5-((N-methyl-N-(4-tetrahydropyranyl)amino)carbamoylamino)-indeno[1,2-c]pyrazol-4-one;

3-(4-(4-(N,N-dimethylamino)piperidino)phenyl)-5-((N-methyl-N-(4-tetrahydropyranyl)amino)carbamoylamino)-indeno[1,2-c]pyrazol-4-one;

25 3-(4-(4-pyrrolidinopiperidino)phenyl)-5-((N-methyl-N-(4-tetrahydropyranyl)amino)carbamoylamino)-indeno[1,2-c]pyrazol-4-one;

30 3-(4-(4-piperidinopiperidino)phenyl)-5-((N-methyl-N-(4-tetrahydropyranyl)amino)carbamoylamino)-indeno[1,2-c]pyrazol-4-one;

35 3-(2,4-dimethylthiazol-5-yl)-5-((N-methyl-N-(4-tetrahydropyranyl)amino)carbamoylamino)indeno[1,2-c]pyrazol-4-one;

or pharmaceutically acceptable salt form thereof.

5

39. A pharmaceutical composition, comprising a pharmaceutically acceptable carrier, a compound according to claim 1 or a pharmaceutically acceptable salt or prodrug form thereof, and a cytostatic or
10 cytotoxic agent.

40. A method of treating a cell proliferative disease associated with CDK activity in a patient in need thereof, comprising administering to said patient a
15 pharmaceutically effective amount of a compound according to claim 1, or a pharmaceutically acceptable salt or prodrug form thereof, wherein the proliferative diseases is selected from the group consisting of: Alzheimer's disease, viral infections, auto-immune diseases, fungal
20 disease, cancer, psoriasis, vascular smooth cell proliferation associated with atherosclerosis, pulmonary fibrosis, arthritis glomerulonephritis, neurodegenerative disorders and post-surgical stenosis and restenosis.

41. A method of treating cancer associated with CDK activity in a patient in need thereof, comprising administering to said patient a pharmaceutically effective amount of a compound according to claim 1, or a pharmaceutically acceptable salt or prodrug form thereof,
30 wherein the cancer is selected from the group consisting of: carcinoma such as bladder, breast, colon, kidney, liver, lung, including small cell lung cancer, esophagus, gall-bladder, ovary, pancreas, stomach, cervix, thyroid, prostate, and skin, including squamous cell carcinoma;
35 hematopoietic tumors of lymphoid lineage, including leukemia, acute lymphocytic leukemia, acute lymphoblastic leukemia, B-cell lymphoma, T-cell-lymphoma, Hodgkin's

5 lymphoma, non-Hodgkin's lymphoma, hairy cell lymphoma and
Burkett's lymphoma; hematopoietic tumors of myeloid
lineage, including acute and chronic myelogenous
leukemias, myelodysplastic syndrome and promyelocytic
leukemia; tumors of mesenchymal origin, including
10 fibrosarcoma and rhabdomyosarcoma; tumors of the central
and peripheral nervous system, including astrocytoma,
neuroblastoma, glioma and schwannomas; other tumors,
including melanoma, seminoma, teratocarcinoma,
osteosarcoma, xenoderma pigmentosum, keratocanthoma,
15 thyroid follicular cancer and Kaposi's sarcoma.

42. A method of treating a disease associated with
apoptosis in a patient in need thereof, comprising
administering to said patient a pharmaceutically
20 effective amount of a compound according to claim 1, or a
pharmaceutically acceptable salt or prodrug form thereof,
wherein the disease associated with apoptosis is selected
from the group consisting of: cancer, viral infections,
autoimmune diseases and neurodegenerative disorder.

25 43. A method of inhibiting tumor angiogenesis and
metastasis in a patient in need thereof, comprising
administering to said patient a pharmaceutically
effective amount of a compound according to claim 1, or a
30 pharmaceutically acceptable salt or prodrug form thereof.

44. A method of modulating the level of cellular RNA and
DNA synthesis in a patient in need thereof, comprising
administering to said patient a CDK inhibitory effective
35 amount of a compound according to claim 1, or a
pharmaceutically acceptable salt or prodrug form thereof.

5 45. A method of treating viral infections in a patient in
need thereof, comprising administering to said patient a
CDK inhibitory effective amount of a compound according
to claim 1, or a pharmaceutically acceptable salt or
prodrug form thereof, wherein the viral infections is
10 selected from the group consisting of HIV, human papilloma
virus, herpesvirus, poxvirus, Epstein-Barr virus, Sindbis
virus and adenovirus.

46. A method of chemopreventing cancer in a patient,
15 comprising administering to said patient in need thereof,
a CDK inhibitory effective amount of a compound according
to claim 1, or a pharmaceutically acceptable salt or
prodrug form thereof.

20 47. A method of inhibiting CDK activity comprising
combining an effective amount of a compound according to
claim 1, with a composition containing CDK.

48. A method of treating cancer associated with CDK
25 activity in a patient in need thereof, comprising
administering to said patient a pharmaceutically
effective amount of a compound according to claim 1, or a
pharmaceutically acceptable salt or prodrug form thereof,
in combination (administered together or sequentially)
30 with known anti-cancer treatments such as radiation
therapy or with cytostatic or cytotoxic agents, wherein
such agents are selected from the group consisting of:
DNA interactive agents, such as cisplatin or doxorubicin;
topoisomerase II inhibitors, such as etoposide;
35 topoisomerase I inhibitors such as CPT-11 or topotecan;
tubulin interacting agents, such as paclitaxel, docetaxel
or the epothilones; hormonal agents, such as tamoxifen;

- 5 thymidilate synthase inhibitors, such as 5-fluorouracil;
and anti-metabolites, such as methoxtrexate.

49. A method treating cell proliferative diseases
associated with CDK activity in a patient in need
10 thereof, comprising administering to said patient a
pharmaceutically effective amount of a compound according
to claim 1, or a pharmaceutically acceptable salt or
prodrug form thereof, in combination (administered
together or sequentially) with known anti-proliferating
15 agents selected from the group consisting of:,
altretamine, busulfan, chlorambucil, cyclophosphamide,
ifosfamide, mechlorethamine, melphalan, thiotepa,
cladribine, fluorouracil, floxuridine, gemcitabine,
thioguanine, pentostatin, methotrexate, 6-mercaptapurine,
20 cytarabine, carmustine, lomustine, streptozotocin,
carboplatin, cisplatin, oxaliplatin, iproplatin,
tetraplatin, lobaplatin, JM216, JM335, fludarabine,
aminoglutethimide, flutamide, goserelin, leuprolide,
megestrol acetate, cyproterone acetate, tamoxifen,
25 anastrozole, bicalutamide, dexamethasone,
diethylstilbestrol, prednisone, bleomycin, dactinomycin,
daunorubicin, doxorubicin, idarubicin, mitoxantrone,
losoxantrone, mitomycin-c, plicamycin, paclitaxel,
docetaxel, CPT-11, epothilones, topotecan, irinotecan,
30 9-amino camptothecin, 9-nitro camptothecin, GS-211,
etoposide, teniposide, vinblastine, vincristine,
vinorelbine, procarbazine, asparaginase, pegaspargase,
methoxtrexate, octreotide, estramustine, and hydroxyurea.

- 35 50. A method of inhibiting CDK1 activity, comprising
administering to a patient in need thereof an effective
CDK1 inhibitory amount of a compound according to claim

5 1, or a pharmaceutically acceptable salt or prodrug form thereof.

51. A method of inhibiting CDK2 activity, comprising
administering to a patient in need thereof an effective
10 CDK2 inhibitory amount of a compound according to claim
1, or a pharmaceutically acceptable salt or prodrug form
thereof.

52. A method of inhibiting CDK3 activity, comprising
15 administering to a patient in need thereof an effective
CDK3 inhibitory amount of a compound according to claim
1, or a pharmaceutically acceptable salt or prodrug form
thereof.

53. A method of inhibiting CDK4 activity, comprising
20 administering to a patient in need thereof an effective
CDK4 inhibitory amount of a compound according to claim
1, or a pharmaceutically acceptable salt or prodrug form
thereof.

54. A method of inhibiting CDK5 activity, comprising
administering to a patient in need thereof an effective
CDK5 inhibitory amount of a compound according to claim
1, or a pharmaceutically acceptable salt or prodrug form
30 thereof.

55. A method of inhibiting CDK6 activity, comprising
administering to a patient in need thereof an effective
CDK6 inhibitory amount of a compound according to claim
35 1, or a pharmaceutically acceptable salt or prodrug form
thereof.

5 56. A method of inhibiting CDK7 activity, comprising
administering to a patient in need thereof an effective
CDK7 inhibitory amount of a compound according to claim
1, or a pharmaceutically acceptable salt or prodrug form
thereof.

10

57. A method of inhibiting CDK8 activity, comprising
administering to a patient in need thereof, an effective
CDK8 inhibitory amount of a compound according to claim
1, or a pharmaceutically acceptable salt or prodrug form
15 thereof.

15

58. A method of inhibiting CDK9 activity, comprising
administering to a patient in need thereof an effective
CDK9 inhibitory amount of a compound according to claim
20 1, or a pharmaceutically acceptable salt or prodrug form
thereof.

20

59. A pharmaceutical kit for treating a cell
proliferative disease associated with CDK activity, said
25 kit comprising a plurality of separate containers,
wherein at least one of said containers contains a
compound according to claim 1, or a pharmaceutically
acceptable salt or prodrug form thereof, and at least
another of said containers contains one or more compounds
30 selected from the group consisting of cytostatic or
cytotoxic agents, such as for example, but not limited
to, DNA interactive agents, such as carboplatin,
cisplatin or doxorubicin; topoisomerase II inhibitors,
such as etoposide; topoisomerase I inhibitors such as
35 CPT-11 or topotecan; tubulin interacting agents, such as
paclitaxel, taxane, docetaxel or the epothilones;
hormonal agents, such as tamoxifen; thymidilate synthase

30

35

- 5 inhibitors, such as 5-fluorouracil; and anti-metabolites, such as methoxtrexate, and said containers optionally contain a pharmaceutical carrier, which kit may be effectively utilized for carrying out combination therapies according to the invention.

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